70

CLAIMS

What is claimed is:

1. A method for preparing a fused oxazinone, comprising:

contacting a carboxylic acid with a sulfonyl chloride and an isatoic anhydride in the presence of a tertiary amine to form the fused oxazinone, the nominal mole ratio of said sulfonyl chloride to said carboxylic acid being from about 1.0 to 1.5 and the nominal mole ratio of said isatoic anhydride to said carboxylic acid is from about 0.8 to 1.2.

2. The method of Claim 1 wherein the fused oxazinone is a compound of Formula 1

wherein

J is an optionally substituted carbon moiety; and

K is, together with the two contiguous linking carbon atoms, a fused phenyl ring or a fused 5- or 6-membered heteroaromatic ring, each ring optionally substituted; the carboxylic acid is a compound of Formula 2

wherein J is defined as in Formula 1; the sulfonyl chloride is a compound of Formula 4

4

15

10

5

wherein L is selected from alkyl, haloalkyl, and phenyl optionally substituted with from one to three substituents independently selected from alkyl or halogen; and the isatoic anhydride is a compound of Formula 5

10

15

20

25

30

35

wherein K is defined as in Formula 1.

- 3. The method of Claim 2 wherein the nominal mole ratio of the isatoic anhydride to carboxylic acid is from about 0.9 to 1.1.
- 4. The method of Claim 3 wherein the nominal mole ratio of the tertiary amine to carboxylic acid is from about 2.0 to 4.0.
 - 5. The method of Claim 2 wherein
 - J is C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₈ cycloalkyl or C₃-C₈ cycloalkenyl, each optionally substituted; or
 - J is a phenyl ring, a benzyl group, a benzoyl group, a 5- or 6-membered heteroaromatic ring, an aromatic 8-, 9- or 10-membered fused carbobicyclic ring system, an aromatic 8-, 9- or 10-membered fused heterobicyclic ring system or a 5- or 6-membered nonaromatic heterocyclic ring optionally including one or two ring members selected from the group consisting of C(=O), SO or S(O)₂, each optionally substituted.
 - 6. The method of Claim 5 wherein
 - K is, together with the two contiguous linking carbon atoms, a fused phenyl ring optionally substituted with from one to four substituents independently selected from G, U, W or R¹³; or a fused 5- or 6-membered heteroaromatic ring optionally substituted with from one to three substituents independently selected from G, U, W or R¹³;
 - J is C₁–C₆ alkyl, C₂–C₆ alkenyl, C₂–C₆ alkynyl, C₃–C₈ cycloalkyl or C₃–C₈ cycloalkenyl, each optionally substituted with one or more substituents selected from the group consisting of R¹², halogen, CN, NO₂, hydroxy, C₁–C₄ alkoxy, C₁–C₄ alkylsulfinyl, C₁–C₄ alkylsulfonyl, C₁–C₄ alkylamino, C₂–C₈ dialkylamino, C₃–C₆ cycloalkylamino, and (C₁–C₄ alkyl)(C₃–C₆ cycloalkyl)amino; or
 - J is a phenyl ring, a benzyl group, a benzoyl group, a 5- or 6-membered heteroaromatic ring, an aromatic 8-, 9- or 10-membered fused carbobicyclic ring system, an aromatic 8-, 9- or 10-membered fused heterobicyclic ring system or a 5- or 6-membered nonaromatic heterocyclic ring optionally including one or two ring members selected from the group consisting of C(=O), SO or S(O)₂, each optionally substituted with from one to four substituents independently selected from G, U, W or R¹³;
 - each G is a 5- or 6-membered nonaromatic heterocyclic ring optionally including one or two ring members selected from the group consisting of C(=O), SO or S(O)₂, each optionally substituted with from one to four substituents independently selected from W;

5

10

15

20

25

- each U is a phenyl ring, a benzyl group, a benzoyl group, a 5- or 6-membered heteroaromatic ring, an aromatic 8-, 9- or 10-membered fused carbobicyclic ring system, an aromatic 8-, 9- or 10-membered fused heterobicyclic ring system, each optionally substituted with from one to four substituents independently selected from W;
- each W is independently C1-C4 alkyl, C2-C4 alkenyl, C2-C4 alkynyl, C3-C6 cycloalkyl, C1-C4 haloalkyl, C2-C4 haloalkenyl, C2-C4 haloalkynyl, C3-C6 halocycloalkyl, halogen, CN, NO2, C1-C4 alkoxy, C1-C4 haloalkoxy, C1-C4 alkyl
thio, C_1 – C_4 alkylsulfinyl, C_1 – C_4 alkylsulfonyl, C_1 – C_4 alkylamino, C_2 – C_8 dialkylamino, C_3 - C_6 cycloalkylamino, $(C_1$ - C_4 alkyl) $(C_3$ - C_6 cycloalkyl)amino or C₃-C₆ trialkylsilyl;
- each R^{12} is independently $R^{19}C(=E)$ -; $R^{19}C(=E)L$ -; $R^{19}LC(=E)$ -; $(R^{19})LC(=E)L$ -; $-O(Q=)P(OR^{19})_2$; $-SO_2LR^{18}$; or $R^{19}SO_2L_-$;
- each R¹³ is B(OR¹⁷)₂; NH₂; SH; thiocyanato; C₃-C₈ trialkylsilyloxy; C₁-C₄ alkyldisulfide; SF_5 ; $R^{19}C(=E)$ -; $R^{19}C(=E)M$ -; $R^{19}MC(=E)$ -; $R^{19}MC(=E)M$ -; $-OP(=Q)(OR^{19})_2$; $-S(O)_2MR^{19}$; $R^{19}S(O)_2M$ -;
 - each E is independently O, S, NR¹⁵, NOR¹⁵, NN(R¹⁵)₂, N-S=O, N-CN or N-NO₂; each M is independently O, NR¹⁸ or S; O is O or S:
- each R¹⁵ and each R¹⁹ is independently H; C₁-C₆ alkyl optionally substituted with one or more substituents selected from the group consisting of CN, NO2, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, CO₂H, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylcarbonyl, C₃-C₆ trialkylsilyl, and a phenyl ring optionally substituted with one to three substituents independently selected from W; C₁-C₆ haloalkyl; C₃-C₆ cycloalkyl; or a phenyl ring optionally substituted with from one to three substituents
- each R¹⁷ is independently H or C₁-C₄ alkyl; or 30 B(OR¹⁷)₂ can form a ring wherein the two oxygen atoms are linked by a chain of two to three carbons optionally substituted with one or two substituents independently selected from methyl or C2-C6 alkoxycarbonyl; and each R¹⁸ is independently H, C₁-C₆ alkyl or C₁-C₆ haloalkyl.

independently selected from W;

The method of Claim 6 wherein K is, together with the two contiguous linking 7. 35 carbon atoms, a fused phenyl ring optionally substituted with from one to four substituents independently selected from W or R¹³.

8. The method of Claim 2 wherein the compound of Formula 1 is a compound of Formula 1a

$$\mathbb{R}^4$$
 \mathbb{R}^9
 \mathbb{R}^7
 \mathbb{R}^7
 \mathbb{R}^8

wherein

10

· 15

5 X is N or CR^6 ;

Y is N or CH;

R⁴ is C₁-C₄ alkyl or halogen;

R⁵ is H, C₁-C₄ alkyl, C₁-C₄ haloalkyl, CN or halogen;

 R^6 and R^7 are independently H, C_1 – C_4 alkyl, C_1 – C_4 haloalkyl, halogen, CN or C_1 – C_4 haloalkoxy;

R⁸ is H, C₁–C₄ alkyl, C₂–C₄ alkenyl, C₂–C₄ alkynyl, C₃–C₆ cycloalkyl, C₁–C₄ haloalkyl, C₂–C₄ haloalkenyl, C₂–C₄ haloalkynyl, C₃–C₆ halocycloalkyl, halogen, CN, NO₂, C₁–C₄ alkoxy, C₁–C₄ haloalkoxy, C₁–C₄ alkylthio, C₁–C₄ alkylsulfinyl, C₁–C₄ alkylsulfonyl, C₁–C₄ alkylamino, C₂–C₈ dialkylamino, C₃–C₆ cycloalkylamino, (C₁–C₄ alkyl)(C₃–C₆ cycloalkyl)amino, C₂–C₄ alkylcarbonyl, C₂–C₆ alkoxycarbonyl, C₂–C₆ alkylaminocarbonyl, C₃–C₈ dialkylaminocarbonyl or C₃–C₆ trialkylsilyl;

 R^9 is CF_3 , OCF_3 , $OCHF_2$, OCH_2CF_3 , $S(O)_pCF_3$, $S(O)_pCHF_2$ or halogen; and p is 0, 1 or 2;

the compound of Formula 2 is a compound of Formula 2' and the compound of Formula 5 is a compound of Formula 5'

wherein the definitions of X, Y, R⁴, R⁵, R⁷, R⁸ and R⁹ are the same as for Formula 1a.

9. The method of Claim 8 wherein

X is N;

Y is N;

R4 is CH3, F, Cl or Br;

5 R⁵ is CF₃, CN, F, Cl, Br or I;

R⁷ is Cl or Br;

R8 is H; and

R⁹ is CF₃, OCHF₂, OCH₂CF₃, Cl or Br.

10. A method for preparing a compound of Formula III

$$R^{5}$$
 R^{4}
 R^{8}
 R^{7}
 R^{7}
 R^{3}
 R^{1}
 R^{9}

10

20

25

30

wherein

X is N or CR⁶;

Y is N or CH;

 R^1 is H;

15 R^2 is H or CH₃;

 R^3 is C_1-C_6 alkyl;

R⁴ is C₁-C₄ alkyl or halogen;

R⁵ is H, C₁-C₄ alkyl, C₁-C₄ haloalkyl, CN or halogen;

R⁶ and R⁷ are independently H, C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN or C₁-C₄ haloalkoxy;

R⁸ is H, C₁–C₄ alkyl, C₂–C₄ alkenyl, C₂–C₄ alkynyl, C₃–C₆ cycloalkyl, C₁–C₄ haloalkyl, C₂–C₄ haloalkenyl, C₂–C₄ haloalkynyl, C₃–C₆ halocycloalkyl, halogen, CN, NO₂, C₁–C₄ alkoxy, C₁–C₄ haloalkoxy, C₁–C₄ alkylthio, C₁–C₄ alkylsulfinyl, C₁–C₄ alkylsulfonyl, C₁–C₄ alkylamino, C₂–C₈ dialkylamino, C₃–C₆ cycloalkylamino, (C₁–C₄ alkyl)(C₃–C₆ cycloalkyl)amino, C₂–C₄ alkylcarbonyl, C₂–C₆ alkoxycarbonyl, C₂–C₆ alkylaminocarbonyl, C₃–C₈ dialkylaminocarbonyl or C₃–C₆ trialkylsilyl; and

R⁹ is CF₃, OCF₃, OCHF₂, OCH₂CF₃, S(O)_pCF₃, S(O)_pCHF₂ or halogen; p is 0, 1 or 2;

using a compound of Formula 1a

characterized by:

preparing said compound of Formula 1a by the method of Claim 8.

11. The method of Claim 10 wherein

5 X is N;

Y is N;

R² is H or CH₃;

 R^3 is C_1 – C_4 alkyl;

R4 is CH3, F, Cl or Br;

10 R⁵ is CF₃, CN, F, Cl, Br or I;

R⁷ is Cl or Br;

R⁸ is H; and

 \mathbb{R}^9 is \mathbb{CF}_3 , \mathbb{OCHF}_2 , $\mathbb{OCH}_2\mathbb{CF}_3$, $\mathbb{C}l$ or $\mathbb{B}r$.